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ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: CYCLOALKANEPYRROLOPYRIDINES AS DP RECEPTOR ANTAGONISTS

(57) Abstract: Novel cycloalkanepyrrolopyridine derivatives are antagonists of prostaglandins, and as such are useful for the treat-
ment of prostaglandin mediated diseases.



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INTERNATIONAL SEARCH REPORT

International Application No

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/04 A61K31/437
 //(C07D471/04, 221:00, 209:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|-----------------------|
| X | WO 02/08186 A (MERCK FROSST CANADA & CO; LABELLE, MARC; STURINO, CLAUDIO; ROY, BRUNO;) 31 January 2002 (2002-01-31) pages 30-34; claims 1-21; examples 1-14 | 1-27 |
| A | US 4 808 608 A (GUINDON ET AL) 28 February 1989 (1989-02-28) cited in the application the whole document | 1-27 |

☐ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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- *G* document member of the same patent family

Date of the actual completion of the international search

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Date of mailing of the international search report

17/02/2005

Name and mailing address of the ISA

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Goss, I

INTERNATIONAL SEARCH REPORT

International application No.
PCT/CA2004/000833

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: —
because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 22 as well as 23 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/CA2004/000833

| Patent document cited in search report | | Publication date | Patent family member(s) | Publication date |
|---|---|---------------------|----------------------------|---------------------|
| WO 0208186 | A | 31-01-2002 | AT 284388 T | 15-12-2004 |
| | | | AU 7743001 A | 05-02-2002 |
| | | | BG 107438 A | 30-09-2003 |
| | | | BR 0112756 A | 24-06-2003 |
| | | | WO 0208186 A2 | 31-01-2002 |
| | | | CA 2416867 A1 | 31-01-2002 |
| | | | CN 1443165 T | 17-09-2003 |
| | | | CZ 20030236 A3 | 14-05-2003 |
| | | | DE 60107687 D1 | 13-01-2005 |
| | | | EE 200300033 A | 15-10-2004 |
| | | | EP 1305286 A2 | 02-05-2003 |
| | | | HR 20021039 A2 | 31-10-2004 |
| | | | HU 0301745 A2 | 29-09-2003 |
| | | | JP 2004504380 T | 12-02-2004 |
| | | | MX PA03000710 A | 04-06-2003 |
| | | | NO 20030374 A | 24-01-2003 |
| | | | NZ 523106 A | 28-01-2005 |
| | | | SK 932003 A3 | 03-06-2003 |
| | | | US 6410583 B1 | 25-06-2002 |
| | | | ZA 200300554 A | 23-04-2004 |
| <hr/> | | | | |
| US 4808608 | A | 28-02-1989 | AU 611454 B2 | 13-06-1991 |
| | | | AU 1926288 A | 27-01-1989 |
| | | | DK 404588 A | 16-03-1989 |
| | | | EP 0300676 A2 | 25-01-1989 |
| | | | JP 1070462 A | 15-03-1989 |
| | | | NZ 225361 A | 25-06-1991 |
| | | | PT 88044 A , B | 30-06-1989 |
| | | | US 5021447 A | 04-06-1991 |
| | | | ZA 8805209 A | 29-03-1989 |
| | | | CA 1305485 C | 21-07-1992 |
| | | | AT 61047 T | 15-03-1991 |
| | | | AU 592615 B2 | 18-01-1990 |
| | | | AU 6790887 A | 30-07-1987 |
| | | | DE 3768097 D1 | 04-04-1991 |
| | | | DK 34287 A | 24-07-1987 |
| | | | EP 0234708 A1 | 02-09-1987 |
| | | | ES 2038653 T3 | 01-08-1993 |
| | | | GR 3001828 T3 | 23-11-1992 |
| | | | IE 59861 B1 | 20-04-1994 |
| | | | IL 81325 A | 29-11-1990 |
| | | | JP 62223169 A | 01-10-1987 |
| | | | NZ 218999 A | 21-12-1990 |
| | | | PT 84178 A , B | 01-02-1987 |
| | | | ZA 8700468 A | 26-08-1987 |